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FILE 'HOME' ENTERED AT 19:30:54 ON 29 JUN 2005

=> fil reg

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

COST IN U.S. DOLLARS

FILE 'REGISTRY' ENTERED AT 19:30:59 ON 29 JUN 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 28 JUN 2005 HIGHEST RN 853177-57-8 DICTIONARY FILE UPDATES: 28 JUN 2005 HIGHEST RN 853177-57-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

\* The CA roles and document type information have been removed from the IDE default display format and the ED field has been added, the effective March 20, 2005. A new display format, IDERL, is now that available and contains the CA role and document type information.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

Uploading C:\Program Files\Stnexp\Queries\10750466INTERMED.str

chain nodes :

10 11 12 13 14 15 16 17 18 19 20

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

5-10 9-17 10-11 11-12 12-13 12-18 13-14 14-15 15-16 15-19 16-20

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9

exact/norm bonds :

2-7 3-9 7-8 8-9 9-17 15-16 15-19 16-20

exact bonds :

5-10 10-11 11-12 12-13 12-18 13-14 14-15

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:CH3, Et, n-Pr, i-Pr, n-Bu, i-Bu, s-Bu, t-Bu

### Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS

### L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

G1 Me,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

FULL SEARCH INITIATED 19:31:25 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1166 TO ITERATE

100.0% PROCESSED 1166 ITERATIONS

274 ANSWERS

SEARCH TIME: 00.00.01

L2 274 SEA SSS FUL L1

Uploading C:\Program Files\Stnexp\Queries\10750466.str

chain nodes :

10 11 12 13 14 15 16 17 18 19 26 27

ring nodes :

1 2 3 4 5 6 7 8 9 20 21 22 23 24 25

chain bonds :

5-10 9-27 10-11 11-12 12-13 12-26 13-14 14-15 15-16 15-19 16-17 17-18 18-20

ring bonds :

 $1-2 \quad 1-6 \quad 2-3 \quad 2-7 \quad 3-4 \quad 3-9 \quad 4-5 \quad 5-6 \quad 7-8 \quad 8-9 \quad 20-21 \quad 20-25 \quad 21-22 \quad 22-23 \quad 23-24$ 

24-25

exact/norm bonds :

2-7 3-9 7-8 8-9 9-27 15-16 15-19 16-17 18-20 20-21 20-25 21-22 22-23 23-24

24-25

exact bonds :

5-10 10-11 11-12 12-13 12-26 13-14 14-15 17-18

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

### Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:CLASS 27:CLASS

### L3 STRUCTURE UPLOADED

=> d 13

L3 HAS NO ANSWERS

L3

STR

Structure attributes must be viewed using STN Express query preparation.

=> s 13 full

FULL SEARCH INITIATED 19:32:16 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 45 TO ITERATE

100.0% PROCESSED 45 ITERATIONS

SEARCH TIME: 00.00.01

38 ANSWERS

L4

38 SEA SSS FUL L3

=> fil caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 323.09 323.30

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 19:32:37 ON 29 JUN 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 29 Jun 2005 VOL 143 ISS 1 FILE LAST UPDATED: 28 Jun 2005 (20050628/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12 and 14

81 L2

1633 L4

L5 9 L2 AND L4

=> d ed abs ibib hitstr 1-9

ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 27 Aug 2004

AB A process for making mycophenolate mofetil [I] comprising: conducting a catalytic transesterification by reacting a low-carbon alkyl ester of mycophenolic acid (II; R = Me, Et, Pr, Bu) with 2-morpholinoethanol [4-(2-hydroxyethyl)morpholine] to obtain a crude product of mycophenolate mofetil, which is then isolated and purified.

ACCESSION NUMBER: 2004:701805 CAPIUS

DOCUMENT NUMBER: 141:225522

TITLE:

INVENTOR (S):

141:225522
Process for making mycophenolate mofetil by transesterification
Lee, Kwang-chung; Lin, Shu-chuan; Chiu, Ray-hwa Taiwan
U.S. Pat. Appl. Publ., 3 pp.
CODEN: USKXCO

DATE

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. KIND DATE

US 2004167130 Al 20040826 US 2003-750466 20031229
TW 221414 Bl 20041001 TW 2003-92103728 20030221
PRIORITY APPLM INFO: CASREACT 141:225522; MARPAT 141:225522
IT 31858-65-9, Nethyl mycophenolate 32483-51-5, Ethyl
mycophenolate 40336-78-5 745067-13-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for preparation of mycophenolate mofetil by transesterification of mycophenolate acid esters with morpholinoethanol)
RN 31858-66-9 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-

·L5 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

128794-94-5P, Mycophenolate mofetil

128794-94-59, Mycophenolate mofetil
RE: SPN (Synthetic preparation); PREP (Preparation)
(process for preparation); PREP (Preparation)
(process for preparation of mycophenolate mofetil by transesterification of mycophenolic acid esters with morpholinoethanol)
128794-94-5 CAPLUS
4-Rexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, 2-(4-morpholinyl)ethyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) isobenzofuranyl)-4-methyl-, methyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

32483-51-5 CAPLUS 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, ethyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

40336-78-5 CAPLUS
4-Havenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, butyl ester, (4E)- (SCI). (CA INDEX NAME)

745067-13-4 CAPLUS
4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, propyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 29 Aug 2003

AB Erythromycin macrolide conjugates T-(L-C)m, wherein T is a
transportophore, L is a bond or a linker having a mol. weight up to 240
dalton, C is a non-antibiotic therapeutic agent, and m is 1-8, in which
the transportophore has an immune selectivity ratio of at least 2, the
transportophore is covalently bonded to the non-antibiotic therapeutic
agent via the bond or the linker, and the compound has an immune selectivity
ratio of at least 2, useful for enhancing efficacy of a therapeutic agent.
Thus, macrolide I (R = RI) was prepared in 76% yield via coupling of I (R =
H) with diclofenae as antitumor and antibacterial agent and was tested in
vitro for its cytotoxicity and immunosuppressive activity using a mouse
skin transplant model.

ACCESSION NUMBER: 2003:678606 CAPLUS
DOCUMENT NUMBER: 139:197709

TITLE: macrolide erythromycin conjugates of biologically
active compounds, methods for their preparation and
use, formulation, and pharmaceutical applications
thereof
thereof
ENVENTOR(S): Burnet, Michael; Guse, Jan-Hinrich; Gutke,
Hans-Jurgen; Beck, Albert; Tsotsou, Georgia;
Droste-Borel, Irina; Reichert, Jeannette; Luyten,
Kattie; Busch, Haximilian; Wolff, Michael; Khobzaoui,
Moussa; Margutti, Simona; Meindl, Thomas; Kim, Gene;
Barker, Laurence
PATENT ASSIGNEE(S): Sympore G.m.b.H., Germany
SOURCE: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: FATENT INFORMATION:

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	WO 200:	30701	74		A3		2003	1113											
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		PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,		
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	EP 1483	3277			A2		2004	1208		EP 2	003-	7160	44		2	0030	214		
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OTHE	R SOURCE	(S):			MAR	PAT	139:	1977	09										
IT 586411-53-2P 586411-70-1P																			

AND SOUND (3):

RANKET 139:197709

SS6411-33-2P S86411-78-1F

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(macrolide exthromycin conjugates of biol. active compds. methods for their preparation and use formulation and pharmaceutical applications 'chereof', S86411-53-2 CAPLUS

1-0xa-6-aracyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-0-methyl-a-L-ribo-hexopyranosyl)oxy|-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-2-O-[4-[5-[05-[05-2-methyl-3-oxo-4-isobenzofuranyl]oxy|-1,4-dioxobutyl]-B-D-xylo-hexopyranosyl]oxy|-1,4-dioxobutyl]-B-D-xylo-hexopyranosyl]oxy|-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

PAGE 1-C

586411-78-1 CAPLUS
4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, 1,4-butanediylbis[oxy[1-(4-morpholinylmethyl)-2,1-ethanediyl]] ester, (4E,4'E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

PAGE 1-A

PAGE 1-B

ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B

32483-51-5
RL: RCT (Reactant): RACT (Reactant or reagent)
(macrolide erythromycin conjugates of biol. active compds. methods for their preparation and use formulation and pharmaceutical applications thereof)
32483-51-5 CAPLUS
4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, ethyl ester, (4E)- (9CI) (CA INDEX NAME)

ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 29 Aug 2003

AB Erythromycin macrolide conjugates T-(L-C)m, wherein T is a transportophore, L is a bond or a linker having a mol. weight up to 240 dalton, C is a non-antibiotic therapeutic agent, and m is 1-8, in which the transportophore has an immune selectivity ratio of at least 2, the transportophore is covalently bonded to the non-antibiotic therapeutic agent via the bond or the linker, and the compound has an immune selectivity ratio of at least 2, useful for enhancing efficacy of a therapeutic agent via the bond or the linker, and the compound has an immune selectivity ratio of at least 2, useful for enhancing efficacy of a therapeutic agent. Thus, macrolide I (R = R) was prepared in 76 is yield via coupling of I (R = H) with diclofenac as antitumor and antibacterial agent and was tested in vitro for its cytotoxicity and immunosuppressive activity using a mouse skin transplant model.

ACCESSION NUMBER: 2003:678605 CAPLUS
DOCUMENT NUMBER: 139:197708
TITLE: macrolide erythromycin conjugates of biologically active compounds, methods for their preparation and use, formulation, and pharmaceutical applications thereof

INVENTOR(S): Burnet, Michael; Guse, Jan-Hinrich; Kim, Gene; Beck, Albert; Tsotsou, Georgia: Droste-Borel, Irina; Barker, Laurence; Wolff, Michael; Guke, Hans-Jurgen

PATENT ASSIGNEE(S): Sympore G.m.b.H., Germany
PCT Int. Appl., 164 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE:

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

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PAGE 1-A



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ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

PAGE 1-C

PAGE 2-B

586411-78-1 CAPLUS
4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, 1,4-butanediylbis[oxy[1-(4-morpholinylmethyl)-2,1-ethanediyl]] ester, (4E,4\*E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B

32483-51-5
RL: RCT (Reactant): RACT (Reactant or reagent)
(macrolide erythromycin conjugates of biol. active compds. methods for their preparation and use formulation and pharmaceutical applications thereof)
32483-51-5 CaPLUS
4-Hexenoic acid. 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyi)-4-methyl-, ethyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
188712-01-89 188712-03-0P
RL: ADV (Adverse effect, including toxicity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

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Double bond geometry as shown.

188711-40-2 CAPLUS
4-Hexenoic acid, 6-{1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-(phenylmethoxy)-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

188711-41-3 CAPLUS
4-Hexenoic acid, 6-[4-[(4-chlorophenyl)methoxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9Cl) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 01 May 1997

AB Title compds. I [Rl = H, alkyl: R2, R3 = H, Ne, etc.: R4 = (un)substituted alkyl, (un)substituted alkyl, (un)substituted phenoxy, etc.]
Ph, (un)substituted heterocyclyl, alkoxy, (un)substituted phenoxy, etc.]
are prepared and their absorption and toxicity were studied. Thus, stirring a mixture of Et mycophenolete and 4-methoxybenzyl chloride in DMF containing K2CO3 at room temperature for 40 h gave 90 i [R] = Et, CR2R3R4 = O-CH2-CGH4-OME-D]. I [R] = H, ORZR3R4 = O-CH2-CGH4-OME-D]. also prepared, showed absorption comparable to that of mycophenolic acid its toxicity to the small intestine as indicated by the activity of alkaline phosphatase was comparable to that of mycophenolic acid its toxicity to the small intestine as indicated by the activity of alkaline phosphatase was comparable to that of mycophenolic acid derivatives as immunosuppressants

INVENTOR (S): 1997:278841 CAPLUS

INVENTOR (S): 126:27343

INVENTOR (S): 11,no, Yukio; Pujita, Koichi; Tsuji, Hisashi; Shiozaki, Makoto; Tshiraki, Sonoko

PATENT ASSIGNEE (S): Ajinomoto KK, Japan
Joph, Kokai Tokkyo Koho, 19 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

PAHLIY ACC. NUM. COUNT: 1

Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09067358	A2	19970311	JP 1995-226579	19950904
PRIORITY APPLN. INFO.:			JP 1995-226579	19950904
OTHER SOURCE(S):	MARPAT	126:277343		
IT 188711-39-9P 188711	-40-2P 1	188711-41-3P	•	
188711-42-4P 188711	-43-5P 1	188711-44-6P		
188711-45-7P 188711	-46-8P 1	188711-47-9P		
188711-48-0P 188711	-49-1P 1	188711-SO-4P		
168711-51-5P 188711	-52-6P	188711-53-7P		
188711-54-8P 188711	-55-9P 1	188711-56-OP		
188711-57-1P 189711	-58-2P 1	188711-59-3P		
188711-60-6P 188711	-61-7P	188711-62-8P		
188711-63-9P 188711	-64-0P	188711-65-1P		

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

188711-42-4 CAPLUS
4-Hexenoic acid, 6-[4-[4-cyanophenyl]methoxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

188711-43-5 CAPLUS
4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-4-[4-nitrophenyl]methoxy]-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)-(SCI) (CA INDEX NAME)

### ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

188711-44-6 CAPLUS
4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-4-[(2-methoxyphenyl)methoxy]-7-methyl-3-oxo-5-isobenzofuranyl}-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

188711-45-7 CAPLUS
4-Eksenoic acid, 6-[1,3-dihydro-6-methoxy-4-[(3-methoxyphenyl)methoxy]-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

#### ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

188711-48-0 CAPLUS
4-Hexenoic acid, 6-[4-[(2,3-dimethoxyphenyl)methoxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

188711-49-1 CAPLUS

4-Hexenoic acid, 6-[4-[(2,4-dimethoxyphenyl)methoxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, {E}- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

#### ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

188711-46-8 CAPLUS
4-Hexenoic acid, 6-(1,3-dihydro-6-methoxy-7-methyl-4-[{4-methylphenhoxy}-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester,
(E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

188711-47-9 CAPLUS
4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-4-[(2-methylphenyl)methoxy]-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester,
(E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

#### ANSWER 4 OF 9 - CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

188711-50-4 CAPLUS 4-Hexenoic acid, 6-[4-{{2,5-dimethoxyphenyl}methoxy}-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenrofuranyl}-4-methyl-, ethyl ester, (2)- (9CI) (CA composition)

Double bond geometry as shown.

188711-51-5 CAPLUS
4-Hexenoic acid, 6-[4-[(2,6-dimethoxyphenyl)methoxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- [9CI) (CA INDEX NAME)

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

188711-52-6 CAPLUS
4-Hexenoic acid, 6-{4-{(3,4-dimethoxyphenyl)methoxy}-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, {E}- {9CI} (CA INDEX NAME)

Double bond geometry as shown.

188711-53-7 CAPLUS
4-Hexenoic acid, 6-[4-[(3,5-dimethoxyphenyl)methoxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl}-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

188711-56-0 CAPLUS
4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-{(3,4,5-trimethoxyphenyl)methoxyl-5-isobenzofuranyl)-4-methyl-, ethyl ester, (E)-(SCI) (CA INDEX NAME)

Double bond geometry as shown.

188711-57-1 CAPLUS
4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-[3-pyridinylmethoxy)-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

188711-54-8 CAPLUS 4-Hexenoic acid, 6-{4-{1,3-benzodioxol-5-ylmethoxy}-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl}-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

188711-55-9 CAPLUS
4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-[(2,3,4-trimethoxyphenyl)methoxy]-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

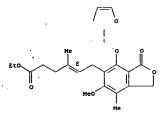
L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

188711-58-2 CAPLUS
4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-[4-pyridihylmethoxy)-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- [9CI)
(CA INDEX NAME)

Double bond geometry as shown.

188711-59-3 CAPLUS
4-Hexenoic acid, 6-[4-[2-furanylmethoxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



188711-60-6 CAPLUS
4-Hexenoic acid, 6-(4-ethoxy-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

188711-61-7 CAPLUS
4-Hexenoic acid, 6-(1,3-dihydro-6-methoxy-7-methyl-4-(1-methylethoxy)-3oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (2)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

188711-62-8 CAPLUS 4-Rexencic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-(2-propenyloxy)-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

188712-01-8 CAPLUS
4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-4-[(4-methoxyphenyl)methoxy]-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, 2-(4-morpholinyl)ethyl ester,
(E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

188712-03-0 CAPLUS
4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-[(3,4,5-trimethoxyphenyl)methoxy]-5-isobenzofuranyl]-4-methyl-,
2-(4-morpholinyl)ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

188711-63-9 CAPLUS
4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-(2-propynyloxy)-5-isobenzofuranyl)-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

188711-64-0 CAPLUS
4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-4-(methoxymethoxy)-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

188711-65-1 CAPLUS
4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-4-[(1-methylethoxy)methoxy]-3-oxo-5-isobenzofuranyl)-4-methyl-, ethyl ester,
(E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

32483-51-5, Ethyl mycophenolate 128794-94-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of mycophenolic acid derivs. as immunosuppressants)
32483-51-5 CAPUS
4-Hexenolc acid, 6-{1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, ethyl ester, {4E}- {9CI} (CA INDEX NAME)

Double bond geometry as shown.

128794-94-5 CAPIUS
4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, 2-(4-morpholinyl)ethyl ester, (4E)- (9CI). (CA INDEX NAME)

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L5 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS ON STN (CO BR 9506838 A 19970930 BR 1995-6838 AT 165826. E 19980515 AT 1995-910983 ES 2116078 T3 19980701 ES 1995-910983 IL 112666 A1 20001031 IL 1995-112666 TW 438789 B 20010607 TW 1995-81011405 US 5538969 A 19960723 US 1995-8101405 EI 9603220 A 19961016 FI 1996-3220 LV 12149 B 19981220 LV 1998-157 PRIORITY APPLN. INFO:: US 1995-1586 

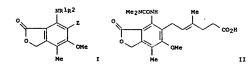
Absolute stereochemistry. Double bond geometry as shown.

171962-51-9 CAPLUS
4-Isobenzofurancarboxylic acid, 1,3-dihydro-6-methoxy-5-(6-methoxy-3,5-dimethyl-6-oxo-2-hexenyl)-7-methyl-3-oxo-, [S-{E}]- (9CI) (CA INDEX NAME)

31858-66-9P 162638-64-4P 162638-65-5P 162638-67-7P 162638-68-8P 162638-70-2P 162638-72-4P 162638-74-6F 162638-75-7P 162638-79-1P 171808-52-9P 171808-52-9P 171808-58-5P RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)

(preparation and immunosuppressant activity of 4-aminomycophenolic acids) 31858-66-9 CAPIUS
4-Hexenolc acid, 6-[1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, methyl ester, (4E)- (9CI) (CA INDEX NAME)

ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 22 Dec 1995



AB Mycophenolic acid derivs. I [R] = H. alkyl; R2 = H. alkyl, acyl, carbamoyl; Z = (un) substituted carboxypentenyl] are therapeutic agents advantageous in the treatment of disease states indicated for mycophenolic acid and/or mycophenolate mofetil and other immunosuppressant agents. Thus, the urea II was obtained from mycophenolic acid in 8 steps. II had an IMT dehydrogense-inhibiting IC50 of 27.6 µM.

ACCESSION NUMBER: 1985:994343 CAPLUS
DOCUMENT NUMBER: 124:55683
ITITLE: 4-mino derivatives of 5-substituted mycophenolic acid Artis, Dean R.: Elworthy, Todd R.: Hawley, Ronald C.: Loughhead, David G.: Morgans, David J., Jr.: Relson, Peter H.: Patterson, John W., Jr.: Sjogren, Eric B.: Syntex (U.S.A.) Inc., USA
PCT Int. Appl., 123 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patterson, Dann W., Jr.: Spogren, Eric B.: Syntex (U.S.A.) Inc., USA
PCT Int. Appl., 123 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patterson, Dann W., Jr.: Spogren, Eric B.: Syntex (U.S.A.) Inc., USA
PCT Int. Appl., 123 pp.
CODEN: PIXXD2

PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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	WO	9522	537	_		A2		1995	0824	1	WO 1	995-1	JS17	86		15	9950	216	
	WO	9522	537			A3		1995	1026										
		W:	AM,	AT,	AU,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	EE,	ES,	FI,	
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								NZ,											
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		RW:			SD.	SZ.	UG.	AT,	BE.	CH.	DE.	DK.	ES.	FR.	GB.	GR.	TR.	IT.	
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	ΑU	9518	753,			A1		1995	0904		AU 1	995-:	1875	3		1	9950:	216	
	2A	9501	293			А		1996	0816		ZA 1	995-	1293			- 1	9950	216	
	EP	7450	72			A1		1996	1204		EP 1	995-	9109	83		1	9950	216	
	EP	7450	72			81		1998	0506										
								ES,			GR.	IE.	IT.	LI.	LU.	MC.	NL.	PT.	s
	CN	1141						1997											
		0950						1997											

L5 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN Double bond geometry as shown.

162638-64-4 CAPLUS
4-Hexenoic acid, 6-{1,3-dihydro-6-methoxy-7-methyl-3-oxo-4[(trifluoromethyl) sulfonyl]oxy]-5-isobenzofuranyl]-4-methyl-, methyl
ester, (E)- {9Cl} (CA INDEX NAME)

162638-65-5 CAPLUS
4-Hexenoic acid, 6-(4-cyano-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, methyl ester, (E)~ (9CI) (CA INDEX NAME)

162638-67-7 CAPLUS
4-Isobenzofurancarboxylic acid, 1,3-dihydro-6-methoxy-5-(6-methoxy-3-methyl-6-oxo-2-hexenyl)-7-methyl-3-oxo-, (E)- (9CI) (CA INDEX NAME)

ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

162638-68-8 CAPLUS
4-Hexenoic acid, 6-(1,3-dihydro-4-isocyanato-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

able bond geometry as shown.

162638-70-2 CAPLUS
4-Hexenoic acid, 6-(4-amino-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, methyl ester, (E)- (9C1) (CA INDEX NAME)

162638-72-4 CAPLUS
4-Hexenoic acid, 6-[4-[[(dimethylamino]carbonyl]amino]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

L5 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN Double bond geometry as shown.

171808-45-0 CAPLUS
4-Hexenoic acid, 6-(4-cyano-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenorizanyl)-2,4-dimethyl-, ethyl ester, (E)- (SCI) (CA INDEX NAME)

171808-52-9 CAPLUS
4-Isobenzofurancarboxylic acid, 1,3-dihydro-6-methoxy-5-(6-methoxy-3,5-dimethyl-6-oxo-2-hexenyl)-7-methyl-3-oxo-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

171808-58-5 CAPLUS
4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenrofuranyl)-2,4-dimethyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

162638-74-6 CAPLUS
4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-[(trifluoroacetyl)amino]-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

162638-75-7 CAPLUS
4-Hexenoic acid, 6-[4-(acetylamino)-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

162638-79-1 CAPLUS
4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-4[methyl(trifluoroacetyl]amino]-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl
ester, (E)- (9CI) (CA INDEX NAME)

ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

162638-71-39
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and immunosuppressant activity of 4-aminomycophenolic acids) 162638-71-3 CAPLUS
4-Hexenoic acid, 6-(4-amino-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, 2-(4-morpholinyl)ethyl ester, (E)- (9CI) (CA INDEX NAME)

ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 22 Dec 1995

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

A pharmaceutical composition comprising 5-substituted derivs. I of mycophenolic acid, where R1 = H, CORIO, R10 = lower alkyl, aryl or NH-aryl; Z = CH2CH:CZICHZ2CZ3Z4COG, ZB, ZC, ZD, ZE, ZF, ZG, or ZH; Z1 = H, lower alkyl, halo, CF3; Z2 = H, OH, lower alkyl, lower alkyl, or CH2ZI3, Z13 = halo, CN, aryl, heteroaryl; Z3 = H, OH, lower alkyl, lower alkyl, lower alkoy, aryl, lower alkyl, halo, Ph, where Z4 is not OH or halo when Z3 = OH, halo, P(O) (OMP2, P(O)

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE: INVENTOR(S):

124:86709
5-substituted derivatives of mycophenolic acid
Artis, Dean R.; Elworthy, Todd R.; Hawley, Ronald C.;
Loughhead, David G.; Morgans, David J., Jr.; Nelson,
Peter H.; Patterson, John W., Jr.; Rohloff, John C.;
Sjogten, Eric B.; et al.
Syntex (U.S.A.) Inc., USA
PCT Int. Appl., 142 pp.
CODEN: PIXXD2
Patent

PATENT ASSIGNEE (S): SOURCE:

DOCUMENT TYPE: LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.				KIN	KIND DATE				APPLICATION NO.						DATE		
WO	9522538 A1 1995082					0824	WO 1995-US1787							19950216			
	W:	AM,	ΑT,	ΑU,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	EE,	ES,	FI,
		GB,	GE,	ΗU,	JP,	KE,	KG,	KP,	KR,	KZ,	LK,	LR,	LT,	LU,	LV,	MD,	MG,
		MN,	MW,	MX,	NL,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SI,	SK,	TJ,	TT,
		UΑ,	UG														
	RW:	ΚE,	MW,	SD,	SZ,	UG,	AT,	ВĒ,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IE,	IT,
		LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,	MR,	NE,
		SN,	TD,	ŤG													
US	5493	030			A		1996	0220				1987			1	9940	218
CA	2183	530			AA		1995	0824		CA 1	995-	2183	530		. 1	9950	216

ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) isobenzofuranyl)-4-methyl-, methyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

172151-41-6 CAPLUS
4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-3-hydroxy-2,2,4-trimethyl-, ethyl ester, (E)- (9CI) (CA

Double bond geometry as shown.

172151-44-9 CAPLUS 4-Hexenoic acid, 6-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-3-hydroxy-4-methyl-, ethyl ester, (E), (SCI) (CA INDEX NAME)

172151-52-9 CAPLUS 4-Mexenoic acid, 2-amino-6-{1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

S COPYRIGHT 2005 ACS on STN (Continued)
Al 19950904 AU 1995-18754 19950216
A 19960816 ZA 1995-1299 19950216
Bl 20000712
DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,
A 19970122 CN 1995-191654 19950216
A 19970909 BR 1995-6819 19950216
A 19970916 JP 1995-521868 19950216
Al 19990909 IL 1995-12665 19950216
Al 20000212 IL 1995-12665 19950216
Al 20000311 TW 1995-84101398 19950216
E 20000715 AT 1995-910984 19950216
T 2 0001116 ES 1995-910984 19950216
BI 2001229 PT 1995-910984 19950216
BI 20010228 HR 1995-950070 19950216
BI 20010228 HR 1995-950070 19950216
A 19960101 FI 1996-3218 19960816
A 19961011 FI 1996-3218 19960816
T 3 20001031 TW 1995-9216 19950816
A 19961011 FI 1996-3218 19960816
T 3 20001031 GR 2000-401101 20000713
US 1994-198749 A 1990218 ANSWER 6 OF 9 CAPLUS ANSWER 6 0 AU 9518754 ZA 9501299 EP 745073 EP 745073 EP 1995-910964

CN 1995-191654

BR 1995-6819

JP 1995-521868

IL 1995-112665

IL 1995-124139

TW 1995-84101398

AT 1995-910984

ES 1995-910984

PT 1995-910984

PT 1995-910984

BR 1995-950070

US 1995-483042

FI 1996-2218

GR 2000-401101

US 1994-199749

IL 1995-112665

WO 1995-US1787 R: AT, R: AT, CN 1141038 BR 9506819 JP 09509174 IL 112665 IL 124139 TW 384288 AT 194608 ES 2149971 PT 745073 HR 950070 US 5633279 FI 9603218 GR 3033864 GR 3033864 PRIORITY APPLN. INFO.: 19950216

OTHER SOURCE(S): MARPAT 124:86709

R SOURCE(S): MARPAT 124:86709

128794-94-5DP, Mycophenolate mofetil, 5-substituted analogs

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); TBU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 5-substituted derivs. of mycophenolic acid as therapeutic agents for treatment of disease states)

128794-94-5 CAPLUS

4-Mexenoic acid, 6-[1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, 2-(4-morpholinyl)ethyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT

31858-66-9 172151-41-6 172151-44-9
172151-52-9 172151-57-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 5-substituted derivs. of mycophenolic acid as therapeutic agents for treatment of disease states)
31858-66-9 CAPLUS
4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-

ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

172151-57-4 CAPLUS
4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5isobenzofuranyl)-2-(dimethoxyphosphinyl)-4-methyl-, ethyl ester, (E)(9CI) (CA INDEX NAME)

Double bond geometry as shown

(preparation of 3-substituted deriva. or mycophenolic acid as therapeu agents for treatment of disease states)
4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-4-[(2-methoxyethoxy)methoxy]-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

172151-13-2 CAPLUS

ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 4-Hexenoic acid, 6-[4-[(1,1-dimethylethyl)dimethylsilyl)oxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown

172151-15-4 CAPLUS
4-Hexenoic acid, 6-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-2,4-dimethyl-, methyl ester,
(E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

172151-16-5 CAPLUS
4-Hexenolc acid. 6-[1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-2,4-dimethyl-, methyl ester, (E)- (SCI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

172152-14-6 CAPLUS
4-Hexenoic acid, 6-[4-[{(1,1-dimethylethyl)dimethylsilyl]oxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-3-[3-[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl)-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown

172152-15-7 CAPLUS
4-Hexenoic acid, 6-[4-[{[1,1-dimethylethyl]dimethylsilyl]oxy}-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-3-(3-hydroxypropyl)-4-methyl-ethyl ester, (E)- [9CI) (CA INDEX NAME)

Double bond geometry as shown.

172152-16-8 CAPLUS
4-Rexenoic acid, 3-(3-bromopropyl)-6-[4-[[(1,1-dimethylethyl)dimethylailyl]oxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Page 1629/06/2005

ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 172151-45-0. CAPLUS 4-Hexenoic acid, 6-[4-[([1,1-dimethylethyl)dimethylsilyl]oxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-3-methoxy-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

172151-55-2 CAPLUS
4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-4-[(2-methoxyethoxy)methoxy]-7-methyl-3-oxo-5-isobenzofuranyi]-2,2,4-trimethyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

172151-68-7 CAPLUS
4-Rexencic acid, 2-(2-bromoethyl)-6-{4-{[(1,1-dimethyll-dimethyll-dimethyl

ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Double bond geometry as shown.

172152-17-9 CAPLUS
4-Rexenoic acid, 3-(3-bromopropyl)-6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenrofuranyl)-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

172151-40-5P 172151-43-8P 172151-51-8P
172151-54-1P

RL: SPM (Synthetic preparation); PREP (Preparation)
(preparation of 5-substituted derivs. of mycophenolic acid as therapeutic agents for treatment of disease states)
172151-40-5 CAPLUS
4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-4-[(2-methoxyethoxy)methoxy]-7-methyl-3-oxo-5-isobenzofuranyl]-3-hydroxy-2,2,4-trimethyl-, ethyl ester,
(E)- (9CI) (CA INDEX NAME)

Double bond geometry as sho

172151-43-8 CAPLUS

ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on \$TN (Continued)
4-Haxenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5isobenzofuranyl)-3-methoxy-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown

172151-51-8 CAPLUS
4-Hexenoic acid, 2-amino-6-{1,3-dihydro-6-methoxy-4-[{2-methoxy}-methoxy]-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethylester, (E)- (9CI) (CA INDEX NAME)

172151-54-1 CAPLUS
4-Hexenoic acid, 6-{1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-2-{{methylsulfonyl}amino}-, ethyl ester, (E)-(SCI) (CA INDEX NAME)

Double bond geometry as shown.

Entered STM: 08 Aug 1995

Answer 7 of 9 Caplus copyright 2005 ACS on STN

Entered STM: 08 Aug 1995

This is an initial study of the immunosuppressive efficacy of CAM, a derivative of mycophenoite acid, in a rat heart allograft model when the major histocompatibility complex was fully incompatible, and its effect in improving heart allograft survival compared with mycophenolate mofetil (MMF, RS-61443). CAM or NMF was administered orally from day 1 following the allografting for 40 days. The median survival times (MST) were 6 days in rats with no immunosuppressive drug (control group; n=6), 83 days with CAM 10 mg/kg (n=6), and >100 days with both 20 mg/kg (n=7), and 30 mg/kg (n=10). With MMF, in contrast, MST was 9, 17, 35, days with 10, 20, 30 mg/kg/day, resp. All grafts in the CAM 30 mg/kg-treated group survived for more than 100 days after grafting, and, furthermore, CAM was also more effective than MMF in prolongation of the heart graft survival in rats at each dose. Rats with long-surviving cardiac allografts (30 mg/kg; CAM) accepted skin grafts from the donor-strain but rejected them from the third-party strain, suggesting that donor-specific tolerance was induced by CAM. In the tolerant rats, proliferative response against donor type alloantigen was not impaired as compared with naive WKAR rats. In contrast, CML assay showed that T cells obtained from the rats bearing permanently accepted F344 heart grafts had less cytotoxic activity to the donor-type target, and the frequency of CTL precursor against donor-type alloantigen was also reduced.

ACCESSION NUMBER: 1995/724214 CAPLUS
DOCUMENT NUMBER: 1995/724214 CAPLUS

DOCUMENT NUMBER: 123:187987

AUTHOR (S):

123:187987
CRM - a novel immunosuppressive agent
Takazawa, Kenji; Hosoda, Yasuyuki; Bashuda, Hisashi;
Yagita, Hideo; Okumura, Ko; Kaneko, Yutaro
School of Medicine, Juntendo University, Tokyo, 113, CORPORATE SOURCE:

vapan Transplantation (1995), 59(12), 1723-7 CODEN: TRPLAU; ISSN: 0041-1337 Journal SOURCE:

DOCUMENT TYPE:

LANGUAGE IT 404 40449-96-5. CAM

RE: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified); TRU (Therapeutic use): BIOL (Biological study); USES (Uses)

(CAM immunosuppressive activity in heart allograft vs. mycophenolate

40449-96-5 CAPLUS

Benzoic acid, 4-[[[5-[(2E)-6-ethoxy-3-methyl-6-oxo-2-hexenyl]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-isobenzofuranyl]oxy]carbonyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 7 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

128794-94-5, Mycophenolate mofetil RL: BRC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Uses)

[ZCM4 immunosuppressive activity in heart allograft vs. mycophenolate
mofetil]

128794-94-5 CAPLUS

4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5isobenzofuranyl)-4-methyl-, 2-(4-morpholinyl)ethyl ester, (4E)- (9CI) (CA
INDEX NAME)

ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 13 May 1995

AB Synthesis of the potent immunosuppressive agent, mycophenolate mofetil (I) labeled with carbon-14 is described. Methoxyethoxymethyl (MEM) protected mycophenolate norbromide was prepared from unlabeled mycophenolic acid using a modified Hunsdiecker reaction. A three step synthesis furnished the title compound, having a specific activity of 53.8 mCi/mmol, in 49.5% overall yield from KI4CN.

ACCESSION NUMBER: 1995:548349 CAPLUS
DOCUMENT NUMBER: 123:111784

Synthesis of mycophenolate mofetil-[14C], RS-61443-14C
AUTHOR(S): Hung, Glenn T.; Parnes, Howard
CORPORATE SOURCE: Institute Organic Chemistry, Syntex Discovery
Research, Palo Alto, CA, 94303, USA
Journal of Labelled Compounds & Radiopharmaceuticals
(1995), 36(5), 449-56
CODEN: JLCROW; ISSN: 0362-4803

Wiley Journal

PUBLISHER:

PUBLISHER: Wiley

DOCUMENT TYPE: Journal
English

IT 31858-66-99 125198-47-29
RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT
(Reactant or reagent):
(Synthesis of mycophenolate mofetil-[14C))
RN 31858-66-9 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5isobenzofuranyl)-4-methyl-, methyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

125198-47-2 CAPLUS 4-Hexenoic acid, 6-{1,3-dihydro-6-methoxy-4-{(2-methoxyethoxy)methoxy}-7-

ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

PAGE 1-B

165684-47-9 CAPLUS
4-Hexenoic-1-14C acid, 6-{1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-isobenzofuranyl)-4-methyl-, 2-(4-morpholinyl)ethyl ester, (E)- (9CI) (CA INDEX (NAME)

uble bond geometry as shown.

ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) methyl-3-oxo-5-isobenzofuranyl}-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

165684-44-6P 165684-47-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of mycophenolate mofetil-[14C])
165684-44-6 CAPUS
4-Hexenoic-1-14C acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5isobenzofuranyl)-4-methyl-, 1,3-dihydro-6-methoxy-7-methyl-5-[3-methyl-6[2-(4-morpholinyl)]ethoxyl-6-oxo-2-hexenyl-6-14C]-3-oxo-4-isobenzofuranyl
ester, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 15 Feb 1995

AB The disclosed derivs. of mycophenolic acid I (R7 = lower alkyl; R10 = 0SOZCF3, CN, COZH, NCO) are therapeutic agents (no data) advantageous in the treatment of disease states indicated for mycophenolic acid and/or mycophenolate mofetil and other immunosuppressant agents. Pharmaceutical formulations were given.

ACCESSION NUMBER: 1995:354681 CAPLUS
DOCUMENT NUMBER: 122:265175
TITLE: Derivatives of mycophenolic acid INVENTOR(S): Sjogren, Eric B.
PATENT ASSIGNEE(S): Syntex (U.S.A.) Inc., USB.

DOCUMENT TYPE: CODEN: USXXAM

DOCUMENT TYPE: Patent Patent English DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

DATE

A 19950110 US 1994-198817 19940218
A 19950815 US 1994-311666 19940923
A 19950824 CA 1995-2183529 19950216
AT AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, KK, EE, ES, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MM, MC, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UG
MM, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, 1E, IT, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, ML, MR, NE, TD, TG
Al 19950904 PATENT NO. KIND DATE APPLICATION NO. US 5380879 US 5441953 CA 2183529 WO 9522535 CA 2183529
W 952235
W: AM, AT, AU
GB, GE, HU
MN, MW, MX
UA, UG
RW: KE, MM, SD
LU, MC, MS, TD, TG
AU 9519169
ZA 9501292
EP 745014
R: AT, BE, CH
CN 1143366
BR 9506820
JP 09509171
IL 112664
AT 211467
FT 745074
ES 2170141
FI 9603219
PRIORITY APPLN. INFO.: AU 1995-19169 ZA 1995-1292 EP 1995-911697 19950904 19950216 19950904 19960816 19961204 20020102 ES, FR, 19970219 19970909 19970916 19990620 20020115 20020628 20020801 19961011 19950216 GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
CN 1995-1916-56 19950216
BR 1995-68200 19950216
JP 1995-521865 19950216
IL 1995-112664 19950216
AT 1995-911697 19950216
ES 1995-911697 19950216
ES 1995-911697 19950216
FI 1996-3219 19960816
US 1994-198817 A3 19950216
WO 1995-US1784 W 19950216

LS ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 122:265175
IT 31858-66-9P 162638-64-8P 162638-65-5P
162638-67-7P 162638-68-8P 162638-62-6P
162638-84-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(mycophenolic acid derivs.)
RN 31858-66-9 CAPLUS
CN 4-Rekenoic acid, 6-[1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5isobenzofuranyl)-4-methyl-, methyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

162638-64-4 CAPLUS
4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4[[(trifluoromethyl)sulfonyl]oxy]-5-isobenzofuranyl]-4-methyl-, methyl
ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

162638-65-5 CAPLUS
4-Hexenoic.acid, 6-(4-cyano-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenoruranyl)-4-methyl-, methyl ester, (E)- (SCI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

162638-84-8 CAPLUS
4-Hexenoic acid, 6-(1,3-dihydro-6-methoxy-7-methyl-4[(methylsulfonyl)amino]-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester,
[E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

162638-70-2P 162638-72-4P 162638-74-6P 162638-79-1P

102638-79-19
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

USES (Uses)
(mycophenolic acid derivs.)
162638-70-2 CAPIUS
4-Hexenoic acid, 6-(4-amino-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5isobenzofuranyl)-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

162638-72-4 CAPLUS
4-Hexenoic acid, 6-{4-{{(dimethylamino)carbonyl)amino}-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl}-4-methyl-, methyl ester, (E)-

ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

162638-67-7 CAPLUS
4-Isobeniofurancarboxylic acid, 1,3-dihydro-6-methoxy-5-(6-methoxy-3-methyl-6-oxo-2-hexenyl)-7-methyl-3-oxo-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

162638-68-8 CAPLUS
4-Hexenoic acid, 6-{1,3-dihydro-4-isocyanato-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

162638-82-6 -CAPLUS
4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-4-[(methoxycarbonyl)amino}-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CINDEX NAME)

Double bond geometry as shown.

ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (9CI) (CA INDEX NAME) (Continued

Double bond geometry as shown.

162638-74-6 CAPLUS
4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-[(trifluocracetyl)amino]-5-isobenzofuranyi]-4-methyl-, methyl ester, (E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

162638-79-1 CAPLUS
4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-4[methyl(trifluoroacetyl)amino]-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl
ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

162638-71-3P 162638-75-7P 162638-76-8P RL: SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological

ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) study); PREP (Preparation); USES (Uses) (mycophenolic acid deriva.) 162638-71-3 CAPLUS (162638-71-3 CAPLUS

Double bond geometry as shown.

162638-75-7 CAPLUS
4-Hexenoic acid, 6-[4-(acetylamino)-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

162638-76-8 CAPLUS
4-Hexenoic acid, 6-[4-(formylamino)-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

L5 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Page 2029/06/2005

=> log y COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	44.91	368.21
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.57	-6.57

STN INTERNATIONAL LOGOFF AT 19:33:21 ON 29 JUN 2005